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FILE 'CAPLUS' ENTERED AT 08:24:07 ON 27 NOV 2007 E US2006-566485/APPS

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FILE 'REGISTRY' ENTERED AT 08:25:13 ON 27 NOV 2007

FILE 'CAPLUS' ENTERED AT 08:25:26 ON 27 NOV 2007

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3 SEA L2 E 253-82-7/RN

L4 1 S E3

FILE 'REGISTRY' ENTERED AT 08:30:01 ON 27 NOV 2007 L5 STR 182878-97-3

L6 1 S L5 EXA FUL

FILE 'CAPLUS' ENTERED AT 08:30:30 ON 27 NOV 2007 L7 3 S L6

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L9 0 S L7 AND PETROLATUM

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L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:161002 CAPLUS

DOCUMENT NUMBER: 142:246185

TITLE: Pharmaceutical compositions containing quinazoline

derivatives

INVENTOR(S): Kriwet, Katrin

Novartis A.-G., Switz.; Novartis Pharma G.m.b.H. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 15 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

I	PAT	ENT I	NO.			KIN)	DATE			APPL	ICAT:	ION	NO.		D	ATE		
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	WO 2005016322				A2 20050224			WO 2004-EP9273					20040818						
V	WO 2005016322				A3	20051103													
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑŻ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
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			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
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		35508						2006	0315		AT 2	004-	7642	59		2	0040	818	
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OTHER SOURCE(S): MARPAT 142:246185

10/566485

=> d 13 1-YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

- ANSWER 1 OF 3 REGISTRY COPYRIGHT 2007 ACS on STN L3 182878-97-3 REGISTRY
- RN
- ED Entered STN: 07 Nov 1996
- Ouinazoline, 6-[2-(2.5-dimethoxyphenyl)ethyl]-4-ethyl- (CA INDEX NAME) CN OTHER NAMES:
- CN 6-[2-(2,5-Dimethoxyphenyl)ethyl]-4-ethylquinazoline
- MF C20 H22 N2 O2
- SR CA
- STN Files: CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, LC SYNTHLINE, TOXCENTER, USPATFULL

- **PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
 - 3 REFERENCES IN FILE CA (1907 TO DATE)
 - 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 17 ibib abs hitstr

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:161002 CAPLUS

DOCUMENT NUMBER: 142:246185

TITLE: Pharmaceutical compositions containing guinazoline

derivatives

INVENTOR(S): Kriwet, Katrin

Novartis A.-G., Switz.; Novartis Pharma G.m.b.H. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 15 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE:

English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.									APPLICATION NO.						DATE			
					A2 20050224							20040818							
	2005																		
	W:	AE,	AG,	AL,	AM,	AT.	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN.	co.	CR.	CU.	CZ	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
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	1675									EP 2	004-	7642	59		2	0040	818		
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MARPAT 142:246185 OTHER SOURCE(S):

Topical pharmaceutical compns., e.g., an emulsion, comprises a quinazoline derivative (a lavendustin analog), and an emollient, and optionally further excipients. Thus, a formulation contained 1% and iso-Pr myristate 10% in addition to other standard excipients.

IT 182878-97-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

⁽pharmaceutical compns. containing quinazoline derivs.)

RN

¹⁸²⁸⁷⁸⁻⁹⁷⁻³ CAPLUS
Quinazoline, 6-[2-(2,5-dimethoxyphenyl)ethyl]-4-ethyl- (CA INDEX NAME) CN

=> d 17 ibib abs hitstr 2-YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):v

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:662875 CAPLUS DOCUMENT NUMBER: 139:293651

TITLE: A Practical Synthesis of 6-[2-(2.5-

Dimethoxyphenyl) ethyll-4-ethylguinazoline and the Art of Removing Palladium from the Products of

Pd-Catalyzed Reactions

Koenigsberger, Kurt; Chen, Guang-Pei; Wu, Raeann R.; AUTHOR(S):

Girgis, Michael J.; Prasad, Kapa; Repic. Olian;

Blacklock, Thomas J.

CORPORATE SOURCE: Process Research and Development, Novartis Institute for Biomedical Research, East Hanover, NJ, 07936, USA

SOURCE: Organic Process Research & Development (2003), 7(5), 733-742

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society DOCUMENT TYPE: Journal

LANGUAGE -English

CASREACT 139:293651 OTHER SOURCE(S): A concise large-scale synthesis of 1, a new antimitotic agent is

described. The key step was a one-pot Sonogashira cross-coupling of an aryl halide with a heteroaryl halide through an acetylene using the readily available 2-methyl-3-butyn-2-ol (7). An innovative approach for palladium removal was designed and successfully scaled-up on a multikilogram scale. The product was crystallized from the crude reaction mixture while keeping the residual palladium in the mother liquor by using

Pd-scavenging agents such as N-acetylcysteine or thiourea. IT 182878-97-3P, 6-[2-(2,5-Dimethoxyphenyl)ethyl]-4-ethylquinazoline

RL: IMF (Industrial manufacture); PUR (Purification or recovery); PREP (Preparation)

(scale-up of and Pd catalyst removal in the synthesis of 6-[2-(2.5-dimethoxyphenyl)ethyl]-4-ethylguinazoline)

RN 182878-97-3 CAPLUS

Ouinazoline, 6-[2-(2.5-dimethoxyphenyl)ethyl]-4-ethyl- (CA INDEX NAME)

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

А

19970908

ACCESSION NUMBER: 1996:661128 CAPLUS

DOCUMENT NUMBER: 125:301017

TITLE: Preparation of trisubstituted phenyl and quinazoline derivatives for the treatment of inflammatory and

proliferative skin diseases and cancer

INVENTOR(S): Nussbaumer, Peter

PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-Gmbh; Sandoz-Erfindungen Verwaltungsgesellschaft Mbh

Sandoz-Errindungen Verwaltungsgeselisch

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE 19960919 WO 1996-EP1116 WO 9628430 A1 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN CA 1996-2214131 CA 2214131 **A**1 19960919 19960314 AU 9651443 А 19961002 AU 1996-51443 19960314 AU 704544 B2 19990429 BR 9607240 А 19971111 BR 1996-7240 19960314 EP 815087 Α1 19980107 EP 1996-908043 19960314 EP 815087 В1 20011114 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI CN 1183774 19980603 CN 1996-193716 19960314 A CN 1101386 В 20030212 JP 1996-527290 JP 11503412 Т 19990326 19960314 JP 3194963 **B2** 20010806 HU 9801828 A2 19990329 HU 1998-1828 RU 2164224 C2 20010320 RU 1997-117169 19960314 SK 282155 В6 20011106 SK 1997-1245 19960314 AT 208763 т 20011115 AT 1996-908043 CZ 289944 В6 20020417 CZ 1997-2869 PT 815087 т 20020429 PT 1996-908043 ES 2168463 Т3 20020616 ES 1996-908043 PL 185875 B1 20030829 PL 1996-322134 FI 1997-3440 FI 9703440 А 19971024

NO 1997-4118

19970908

NO 9704118

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NO 310356	B1	20010625				
US 5990116	A	19991123	US	1997-913597		19970915
HK 1014440	A1	20030627	HK	1998-112775		19981203
PRIORITY APPLN. INFO.:			GB	1995-5080	A	19950314
			GB	1995-5858	A	19950323
			GB	1995-26593	A	19951228
			WΩ	1996-EP1116	W	19960314

OTHER SOURCE(S): MARPAT 125:301017 GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention concerns compds. I wherein; R1 and R2 are the same or AB different and represent OH, alkoxy, acyloxy, alkyl, or acyl, whereby R2 is in the 5- or 6-position, with the proviso that R1 and R2 are not simultaneously OH or acyloxy, and (a) W represents CH2CH2, R3 = C(:X)R6 wherein R6 = H, alkyl, alkoxy, or amino and X = O, hydroxyimino, or alkoxyimino, R4 = NR7R8 wherein R7 and R8 are the same or different and represent H, alkyl, acyl, alkoxycarbonyl, or (b) W = CH2CH2, CH:CH, CH2O, or CH2NR5, whereby the heteroatom adheres to ring B and R5 = H, alkyl, or acyl, R3 and R4 form together with ring B a condensed ring system II or III wherein the single/dotted line symbol represents a single or double bond, R9 = e.g., H, alkylthio, alkyl; Y = N or CR11, R10 = e.g., H, alkyl, acyl; R11 = H, alkoxycarbonyl, cyano, acyl; Z = O or S; and V = NH if the single/dotted line represents a single bond, and N if the single/dotted line represents a double bond (with provisos), and their use in the prevention or treatment of inflammatory and proliferative skin diseases and cancer. Thus, e.g., hydrogenation of 6-[2-(2,5-dimethoxyphenyl)ethynyl]-4-ethylquinazoline afforded 6-[2-(2,5dimethoxyphenyl)ethyl]-4-ethylquinazoline (IV); IV and the corresponding 4-MeO and 4-Me compds. exhibited IC50 of about 10 nM for the inhibition of proliferation in the human keratinocyte cell line HaCaT, and between 10 and 200 nM for inhibition of tumor cell proliferation.

182878-97-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of trisubstituted Ph and quinazoline derivs, for the treatment

of inflammatory and proliferative skin diseases and cancer) 182878-97-3 CAPLUS

Quinazoline, 6-[2-(2,5-dimethoxyphenyl)ethyl]-4-ethyl- (CA INDEX NAME) CN

RN

WEST Search History

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	DB=P	PGPB, USPT, USOC, EPAB, JPAB, DWPI; THES=ASSIGNEE; PLUR=YES; OP=A	DJ
Γ	L6	(4919934.pn.)	2
Γ	L5	((psoriasis and emollient and psoriasis.ti,ab,clm. and emollient.ti,ab,clm.) and (@pd<20030819 or @ad<20030819 or @rlad<20030819 or @prad<20030819))	111
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Γ	L3	(psoriasis and emollient)	2377
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PubMed History Page 1 of 1

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